

(19) World Intellectual Property
Organization
International Bureau



Rec'd PCT/PTO 20 JAN 2005



(43) International Publication Date
29 January 2004 (29.01.2004)

PCT

(10) International Publication Number
WO 2004/009597 A3

(51) International Patent Classification⁷: A61K 31/505,
C07D 487/04, A61P 3/00 // (C07D 487/04, 239:00,
231:00)

[US/US]; GlaxoSmithKline, Five Moore Drive, PO Box
13398, Research Triangle Park, NC 27709 (US). ZHOU,
Huiqiang, [CN/US]; GlaxoSmithKline, Five Moore Drive,
PO Box 13398, Research Triangle Park, NC 27709 (US).

(21) International Application Number:
PCT/US2003/022719

(74) Agents: LEVY, David, J. et al.; GlaxoSmithKline, Five
Moore Drive, PO Box 13398, Research Triangle Park, NC
27709 (US).

(22) International Filing Date: 21 July 2003 (21.07.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/397,947 23 July 2002 (23.07.2002) US

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC,
SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(71) Applicant (*for all designated States except US*):
SMITHKLINE BEECHAM CORPORATION
[US/US]; One Franklin Plaza, Philadelphia, PA 19101
(US).

(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): DICKERSON,
Scott, Howard [US/US]; GlaxoSmithKline, Five Moore
Drive, PO Box 13398, Research Triangle Park, NC 27709
(US). GARRIDO, Dulce, Maria [US/US]; GlaxoSmithK-
line, Five Moore Drive, PO Box 13398, Research Triangle
Park, NC 27709 (US). MILLS, Wendy, Yoon [US/US];
GlaxoSmithKline, Five Moore Drive, PO Box 13398,
Research Triangle Park, NC 27709 (US). KANO, Kazuya
[JP/JP]; Tsukuba Research Laboratories, 43 Wadai,
Tsukuba-shi, Ibaraki Pref 300-4247 (JP). PEAT, Andrew,
James [US/US]; GlaxoSmithKline, Five Moore Drive,
PO Box 13398, Research Triangle Park, NC 27709 (US).
THOMSON, Stephen, Andrew [US/US]; GlaxoSmithK-
line, Five Moore Drive, PO Box 13398, Research Triangle
Park, NC 27709 (US). WILSON, Jayme, Lyn, Roark

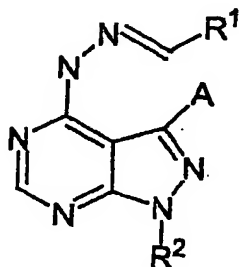
Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

(88) Date of publication of the international search report:
27 May 2004

*For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.*

(54) Title: PYRAZOLOPYRIMIDINES AS PROTEIN KINASE INHIBITORS



(I)

(57) Abstract: The present invention relates generally to inhibitors of the kinases
and more particularly to novel pyrazolopyrimidine compounds of formula (I).

WO 2004/009597 A3

INTERNATIONAL SEARCH REPORT

Intern. Application No

PC 03/22719

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K31/505 C07D487/04 A61P3/00
 //(C07D487/04,239:00,231:00)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07F A61K C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	BHAT ET AL.: "Pyrazolopyrimidine Nucleosides. 12. Synthesis and Biological Activity of Certain Pyrazolo[3,4-d]pyrimidine Nucleosides Related to Adenosine" J. MED. CHEM., vol. 24, 1981, pages 1165-1172, XP002267111 Cpds. 6, 14	1,10,11, 16,36,37
A	WO 01/019829 A (BASF AG ;HIRST GAVIN C (US); RAFFERTY PAUL (US); RITTER KURT (US);) 22 March 2001 (2001-03-22) page 1 - page 13; claim 1	1-20, 36-40

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *Z* document member of the same patent family

Date of the actual completion of the international search

16 January 2004

Date of mailing of the international search report

20.04.2004

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
 NL - 2280 HV Rijswijk
 Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
 Fax: (+31-70) 340-3016

Authorized officer

Fritz, M

INTERNATIONAL SEARCH REPORT

International application No.
CT/US 03/22719

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1-20, 36-40

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-20,36-40

Compounds of formula (I), pharmaceutical compositions thereof, methods of treatment involving the compounds (I), and the uses thereof in the preparation of a medicament;
compounds of formula (V)

2. claims: 21-25

Compounds of formula (II)

3. claims: 26-30

Compounds of formula (III)

4. claims: 31-35

Compounds of formula (IV)

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT 03/22719

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0119829	A	22-03-2001	AT 247657 T	15-09-2003
			AU 7495000 A	17-04-2001
			BG 106586 A	31-01-2003
			BR 0014073 A	16-07-2002
			CA 2385747 A1	22-03-2001
			CN 1390220 T	08-01-2003
			CZ 20020936 A3	16-10-2002
			DE 60004685 D1	25-09-2003
			DK 1212327 T3	15-12-2003
			EP 1212327 A2	12-06-2002
			JP 2003509428 T	11-03-2003
			NO 20021328 A	21-05-2002
			PL 354249 A1	29-12-2003
			SI 1212327 T1	29-02-2004
			SK 3812002 A3	11-09-2003
			TR 200201505 T2	21-01-2003
			WO 0119829 A2	22-03-2001
			US 6660744 B1	09-12-2003
			US 2002156081 A1	24-10-2002
			ZA 200202123 A	17-06-2003